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NEWS
NEWS 2
                       "Ask CAS" for self-help around the clock
      3 FEB 25 CA/CAPLUS - Russian Agency for Patents and Trademarks
NEWS
                       (ROSPATENT) added to list of core patent offices covered
NEWS
            FEB 28 PATDPAFULL - New display fields provide for legal status
                       data from INPADOC
           FEB 28 BABS - Current-awareness alerts (SDIs) available
NEWS
NEWS 6 FEB 28 MEDLINE/LMEDLINE reloaded
NEWS 7 MAR 02 GBFULL: New full-text patent database on STN
NEWS 8 MAR 03 REGISTRY/ZREGISTRY - Sequence annotations enhanced
NEWS 9 MAR 03 MEDLINE file segment of TOXCENTER reloaded
NEWS 10 MAR 22 KOREAPAT now updated monthly; patent information enhanced NEWS 11 MAR 22 Original IDE display format returns to REGISTRY/ZREGISTRY NEWS 12 MAR 22 PATDPASPC - New patent database available
NEWS 13 MAR 22 REGISTRY/ZREGISTRY enhanced with experimental property tags
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NEWS 14 APR 04 EPFULL enhanced with additional patent information and new

Welcome to STN International

fields
NEWS 15 APR 04 EMBASE - Database reloaded and enhanced
NEWS 16 APR 18 New CAS Information Use Policies available online

NEWS EXPRESS JANUARY 10 CURRENT WINDOWS VERSION IS V7.01a, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 10 JANUARY 2005

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=> file reg
COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY SESSION 0.21 0.21

FULL ESTIMATED COST

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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 21 APR 2005 HIGHEST RN 848979-49-7 DICTIONARY FILE UPDATES: 21 APR 2005 HIGHEST RN 848979-49-7

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

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Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

Uploading C:\Program Files\Stnexp\Queries\Oxford tricyclic.str

chain nodes : 15 16 17 18 19 20 21 22 23 24 25 26 27 28 29 ring nodes : chain bonds : 11-16 12-25 13-15 15-40 17-18 19-20 21-22 23-24 25-26 26-27 27-28 27-29 ring bonds : $1-2^{5}$ 1-6 2-3 2-7 3-4 3-10 4-5 5-6 7-8 8-9 9-10 9-11 10-14 11-12 12-1313-14 40-41 40-45 41-42 42-43 43-44 44-45 exact/norm bonds : 2-7 7-8 9-10 9-11 10-14 11-12 11-16 12-13 12-25 13-14 13-15 15-40 17-18 19-20 21-22 23-24 25-26 26-27 27-28 27-29 exact bonds : 3-10 8-9 normalized bonds: 1-2 1-6 2-3 3-4 4-5 5-6 40-41 40-45 41-42 42-43 43-44 44-45 isolated ring systems : containing 1 : 40 :

G1:[*1],[*2],[*3],[*4]

G2:C,O

Match level:

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS 20:CLASS 21:CLASS 22:CLASS 23:CLASS 24:CLASS 25:CLASS 26:CLASS 27:CLASS 28:CLASS 29:CLASS 40:Atom 41:Atom 42:Atom 43:Atom 44:Atom 45:Atom

L1 STRUCTURE UPLOADED

=> d 11 L1 HAS NO ANSWERS L1 STR

$$\begin{bmatrix} G2 \\ N \\ N \end{bmatrix} = \begin{bmatrix} 1 \\ N \\ N \end{bmatrix} = \begin{bmatrix} 2 \\ N \end{bmatrix} = \begin{bmatrix} 2 \\ N \end{bmatrix} = \begin{bmatrix} N \\ N$$

G1 [@1],[@2],[@3],[@4] G2 C,O

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sample

SAMPLE SEARCH INITIATED 14:16:27 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 0 TO ITERATE

100.0% PROCESSED 0 ITERATIONS 0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 0 TO 0 PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 full

FULL SEARCH INITIATED 14:16:40 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 7 TO ITERATE

100.0% PROCESSED 7 ITERATIONS 7 ANSWERS

SEARCH TIME: 00.00.01

L3 7 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS
SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST
161.76
161.97

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=> s 13

L4 1 L3

=> d 14 1- ibib abs hitstr YOU HAVE REQUESTED DATA FROM 1 ANSWERS - CONTINUE? Y/(N):y

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2
DOCUMENT NUMBER: 1

2000:707163 CAPLUS 133:266869

TITLE:

Preparation of 2-aryliminopyrimido[6,1-a]isoquinolin-4-

ones as phosphodiesterase inhibitors. Oxford, Alexander William; Jack, David

PATENT ASSIGNEE(S):

Vanguard Medica Ltd., UK

SOURCE:

PCT Int. Appl., 77 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

INVENTOR(S):

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

: 1

PATENT INFORMATION:

PA:	CENT I	10.			KINI	D	DATE		APPLICATION NO.						DATE				
WO 2000058308					A1 20001005			WO 2000-GB1193					20000329						
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CR,		
		CU,	CZ,	DE,	DK,	DM,	DZ,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,	HU,		
		ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	ΚZ,	LC,	LK,	LR,	LS,	LT,	LU,		
		LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	NO,	ΝZ,	PL,	PT,	RO,	RU,	SD,	SE,		
		SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VN,	YU,	ZA,		
		ZW,	AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM								
	RW:	GH,	GM,	KE,	LS,	MW,	SD,	SL,	SZ,	TZ,	UG,	ZW,	ΑT,	BE,	CH,	CY,	DE,		
		DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,		
		CG,	CI,	CM,	GA,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	TG						
NZ 514158					A 20000329			NZ 2000-514158					20000329						
CA 2368413				AA 20001005			CA 2000-2368413					20000329							
AU	2000041274			A5 20001016			AU 2000-41274					20000329							
AU	AU 773504					B2 20040527													
EΡ	EP 1165558				A1		20020102			EP 2000-920857					20000329				

EP	1165	558			В1	200	30924										
	R:	AT,	BE,	CH,	DE,	DK, ES	, FR,	GB,	GR	, IT,	LI,	LU,	NL,	SE,	MC,	PΤ,	
		ΙE,	SI,	LT,	LV,	FI, RO)										
BR	2000	00944	16		Α	20020115 BR 2000-9446							20000329				
JР	2002	54020	07		Т2	200	20021126 JP 2000-608010							20000329			
AΤ	2506	02			E	200	31015	7	ΑT	2000-	9208	57		2	20000	329	
PΤ	1165	558			T	200	40227	1	\mathbf{PT}	2000-	9208	57		2	20000	329	
ES	2208	310			Т3	200	40616	I	ES	2000-	9208	57		2	20000	329	
US	2003	03654	12		A1	200	30220	τ	IJS	2001-	9642	60		2	20010	926	
US	6794	391			B2	200	40921										
ИО	2001	00472	28		Α	200	11123	ì	ON	2001-	4728			2	20010	928	
US	2004	17182	28		A1	200	40902	τ	IJS	2004-	7866	50		2	20040	224	
US	2004	1763	53		A1	200	40909	τ	IJS	2004-	78,64	00		2	20040	224	
PRIORITY	APP	LN.	INFO	.:				(GB	1999-	7454		1	A :	19990	331	
								(GB	1999-	9802			A :	19990	428	
								1	OW	2000-	GB11	93	1	W 2	20000	329	
								τ	US	2001-	9642	60	1	A3 2	20010	926	

OTHER SOURCE(S):

MARPAT 133:266869

GI

$$R^{10}$$
 R^{20}
 R^{6}
 R^{6}
 R^{7}
 R^{8}
 R^{8}

AB Title compds. [I; R1, R2 = alkyl, acyl; R5 = H, alkyl, alkenyl, alkynyl; R6 = H, alkyl, alkenyl, alkynyl, amino, alkylamino, dialkylamino, acylamino; R7, R8 = H, halo, OH, CF3, alkyl, alkenyl, alkynyl, acyl, alkythio, alkoxy, cycloalkyl; R9 = H, halo, OH, CF3, alkyl, alkenyl, alkynyl, acyl, alkythio, alkoxy, cycloalkyl; X = OCH2, CR3R4; R3, R4 = H, alkyl; R10, R11 = H, alkyl, cycloalkyl, Ph; Y = O, CHNO2, NCN, NH, NNO2; n = 2-4], were prepared I have a longer duration of action than the known compound trequinsin (9,10-dimethoxy-3-methyl-2-mesitylimino-2,3,6,7-tetrahydro-4H--pyrimido[6,1-a]isoquinolin-4-one) and do not have trequinsin's very bitter taste. Thus, Na cyanate was added dropwise to 9,10-dimethoxy-2-(2,4,6-trimethylphenylimino)-3-(2-aminoethyl)-3,4,6,7-tetrahydro-2H-pyrimido[6,1-a]isoquinolin-4-one (preparation given) in aqueous HCl

Ι

at 80° followed by stirring for 2 h to give 54% 9,10-dimethoxy-2-(2,4,6-trimethylphenylimino)-3-(N-carbamoyl-2-aminoethyl)-3,4,6,7-tetrahydro-2H-pyrimido[6,1-a]isoquinolin-4-one(II). II inhibited PDE3 with IC50 = 0.46 μ M and was tasteless.

IT 298680-27-0P 298680-28-1P 298680-29-2P 298680-31-6P 298680-32-7P 298680-37-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological

RN 298680-27-0 CAPLUS

CN 4H-Pyrimido[6,1-a]isoquinolin-4-one, 2,3,6,7-tetrahydro-9,10-dimethoxy-3-[2-[[1-(methylamino)-2-nitroethenyl]amino]ethyl]-2-[(2,4,6-trimethylphenyl)imino]- (9CI) (CA INDEX NAME)

RN 298680-28-1 CAPLUS

CN 4H-Pyrimido[6,1-a]isoquinolin-4-one, 2,3,6,7-tetrahydro-9,10-dimethoxy-3-[2-[[1-[(1-methylethyl)amino]-2-nitroethenyl]amino]ethyl]-2-[(2,4,6-trimethylphenyl)imino]- (9CI) (CA INDEX NAME)

Me Me NHPr-i
$$CH_2-CH_2-NH-C=CH-NO_2$$
 MeO MeO

RN 298680-29-2 CAPLUS

CN 4H-Pyrimido[6,1-a]isoquinolin-4-one, 3-[2-[[1-(dimethylamino)-2-nitroethenyl]amino]ethyl]-2,3,6,7-tetrahydro-9,10-dimethoxy-2-[(2,4,6-trimethylphenyl)imino]- (9CI) (CA INDEX NAME)

RN 298680-31-6 CAPLUS
CN Guanidine, [2-[6,7-dihydro-9,10-dimethoxy-4-oxo-2-[(2,4,6-trimethylphenyl)imino]-2H-pyrimido[6,1-a]isoquinolin-3(4H)-y

trimethylphenyl)imino]-2H-pyrimido[6,1-a]isoquinolin-3(4H)-yl]ethyl]-(9CI) (CA INDEX NAME)

Me Me Me NH NH NH
$$\sim$$
 CH2- CH2- NH- C- NH2 MeO MeO

RN 298680-32-7 CAPLUS

CN Guanidine, N-[2-[6,7-dihydro-9,10-dimethoxy-4-oxo-2-[(2,4,6-trimethylphenyl)imino]-2H-pyrimido[6,1-a]isoquinolin-3(4H)-yl]ethyl]-N'-nitro-(9CI) (CA INDEX NAME)

Me Me Me NH
$$\parallel$$
 CH2-CH2-NH-C-NH-NO2 MeO MeO

RN 298680-37-2 CAPLUS

CN Guanidine, N-cyano-N'-[2-[6,7-dihydro-9,10-dimethoxy-4-oxo-2-[(2,4,6-trimethylphenyl)imino]-2H-pyrimido[6,1-a]isoquinolin-3(4H)-yl]ethyl]-N''-methyl- (9CI) (CA INDEX NAME)

Me Me NHMe NHMe
$$CH_2-CH_2-N=C-NH-CN$$
 MeO N

IT 298680-40-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 2-aryliminopyrimido[6,1-a]isoquinolin-4-ones as phosphodiesterase inhibitors)

RN 298680-40-7 CAPLUS

CN Carbamic acid, [[2-[6,7-dihydro-9,10-dimethoxy-4-oxo-2-[(2,4,6-trimethylphenyl)imino]-2H-pyrimido[6,1-a]isoquinolin-3(4H)-yl]ethyl]carbonimidoyl]bis-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Me Me
$$t-BuO-C-NH$$
 O $t-BuO-C-NH-C-OBu-t$ MeO $t-BuO-C-NH-C-OBu-t$

REFERENCE COUNT:

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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(FILE 'HOME' ENTERED AT 14:15:27 ON 22 APR 2005)

1

FILE 'REGISTRY' ENTERED AT 14:15:41 ON 22 APR 2005

L1 STRUCTURE UPLOADED

L2 0 S L1 SAMPLE

L3 7 S L1 FULL

FILE 'CAPLUS' ENTERED AT 14:16:51 ON 22 APR 2005

L4 1 S L3

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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL ENTRY SESSION

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